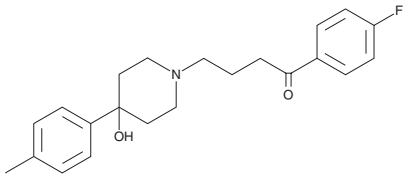
PRODUCT INFORMATION

Moperone

Item No. 21968

CAS Registry No.: 1050-79-9
Formal Name: 1-(4-fluorophenyl)-4-[4-hydroxy-4-(4-methylphenyl)-1-piperidinyl]-1-butanone
Synonyms: Luvatren, Methylperidol, NSC 170974
MF: $C_{22}H_{26}FNO_2$
FW: 355.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Moperone is supplied as a solid. A stock solution may be made by dissolving the moperone in the solvent of choice, which should be purged with an inert gas. Moperone is slightly soluble in chloroform and ethyl acetate.

Description

Moperone is a dopamine D_2, D_3, and D_4 receptor antagonist (K_is = 0.7, 14, and 27 nM, respectively, in NIH3T3 cells expressing the human receptors).[1] It also inhibits *E. electricus* acetylcholinesterase (AChE; K_i = 120 µM) and is a histamine H_1 receptor inverse agonist (IC_{50} = 794 nM for the human receptor).[2,3] Intraocular administration of moperone (0.5% w/v) induces ocular hypotension in normotensive rabbits.[4] Formulations containing moperone have been used in the treatment of schizophrenia, psychosis, epilepsy, and alcohol withdrawal syndrome.

References

1. Burstein, E.S., Ma, J., Wong, S., *et al*. Intrinsic efficacy of antipsychotics at human D_2, D_3, and D_4 dopamine receptors: Identification of the clozapine metabolite N-desmethylclozapine as a D_2/D_3 partial agonist. *J. Pharmacol. Exp. Ther.* **315(3)**, 1278-1287 (2005).
2. Michalek, H. Inhibition of cholinesterase and acetylcholinesterase *in vitro* by butyrophenone neuroleptics. *Biochem. Pharmacol.* **22(9)**, 1067-1074 (1973).
3. Bakker, R.A., Nicholas, M.W., Smith, T.T., *et al*. In vitro pharmacology of clinically used central nervous system-active drugs as inverse H_1 receptor agonists. *J. Pharmacol. Exp. Ther.* **322(1)**, 172-179 (2007).
4. Chiou, G.C.Y., Li, B.H.P., and Chiou, F.Y. Effects of dopamine antagonists injected through vortex veins on intraocular pressure. *J. Ocul. Pharmacol.* **5(4)**, 281-291 (1989).

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